

EAST Search History

Ref #	Hits	Search Query	DBs	Default Operator	Plurals	Time Stamp
L1	582	514/362.ccls.	US-PGPUB; USPAT	OR	OFF	2007/10/17 14:39
L2	81	514/362.ccls. and 514/255.05.ccls.	US-PGPUB; USPAT	OR	OFF	2007/10/17 14:39
L4	3	514/362.ccls. and 514/255.05.ccls. and 514/278.ccls. and 546/16.ccls.	US-PGPUB; USPAT	OR	OFF	2007/10/17 14:39
L5	3	514/362.ccls. and 514/255.05.ccls. and 514/278.ccls. and 546/16.ccls. and 548/126.ccls.	US-PGPUB; USPAT	OR	OFF	2007/10/17 14:39
S1	1	("7041689").PN.	USPAT; USOCR	OR	OFF	2007/10/17 14:38
S2	1	("7138400").PN.	USPAT; USOCR	OR	OFF	2007/10/17 13:52
S3	1	("7282513").PN.	USPAT; USOCR	OR	OFF	2007/10/17 13:52

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* * * * * Welcome to STN International * * * * *

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NEWS 3 JUL 02 SCISEARCH enhanced with complete author names
NEWS 4 JUL 02 CHEMCATS accession numbers revised
NEWS 5 JUL 02 CA/CAPLUS enhanced with utility model patents from China
NEWS 6 JUL 16 CAPLUS enhanced with French and German abstracts
NEWS 7 JUL 18 CA/CAPLUS patent coverage enhanced
NEWS 8 JUL 26 USPATFULL/USPAT2 enhanced with IPC reclassification
NEWS 9 JUL 30 USGENE now available on STN
NEWS 10 AUG 06 CAS REGISTRY enhanced with new experimental property tags
NEWS 11 AUG 06 BEILSTEIN updated with new compounds
NEWS 12 AUG 06 FSTA enhanced with new thesaurus edition
NEWS 13 AUG 13 CA/CAPLUS enhanced with additional kind codes for granted patents
NEWS 14 AUG 20 CA/CAPLUS enhanced with CAS indexing in pre-1907 records
NEWS 15 AUG 27 Full-text patent databases enhanced with predefined patent family display formats from INPADOCDB
NEWS 16 AUG 27 USPATOLD now available on STN
NEWS 17 AUG 28 CAS REGISTRY enhanced with additional experimental spectral property data
NEWS 18 SEP 07 STN AnaVist, Version 2.0, now available with Derwent World Patents Index
NEWS 19 SEP 13 FORIS renamed to SOFIS
NEWS 20 SEP 13 INPADOCDB enhanced with monthly SDI frequency
NEWS 21 SEP 17 CA/CAPLUS enhanced with printed CA page images from 1967-1998
NEWS 22 SEP 17 CAPLUS coverage extended to include traditional medicine patents
NEWS 23 SEP 24 EMBASE, EMBAL, and LEMBASE reloaded with enhancements
NEWS 24 OCT 02 CA/CAPLUS enhanced with pre-1907 records from Chemisches Zentralblatt

NEWS EXPRESS 19 SEPTEMBER 2007: CURRENT WINDOWS VERSION IS V8.2, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 19 SEPTEMBER 2007.

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* * * * * STN Columbus * * * * *

FILE 'HOME' ENTERED AT 13:44:52 ON 17 OCT 2007

=> fil reg

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

0.21

0.21

FILE 'REGISTRY' ENTERED AT 13:45:03 ON 17 OCT 2007

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STRUCTURE FILE UPDATES: 16 OCT 2007 HIGHEST RN 950817-67-1

DICTIONARY FILE UPDATES: 16 OCT 2007 HIGHEST RN 950817-67-1

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TSCA INFORMATION NOW CURRENT THROUGH June 29, 2007

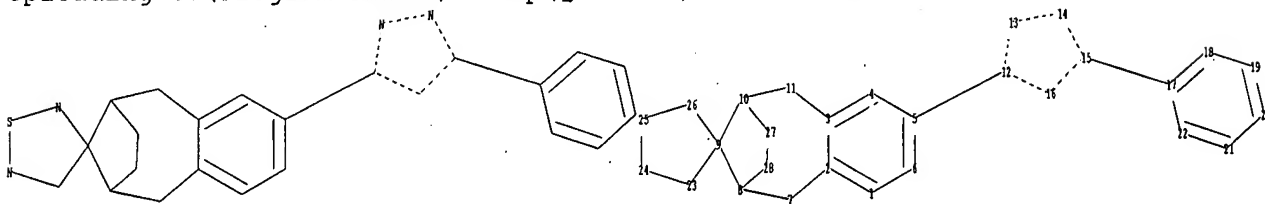
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<http://www.cas.org/support/stngen/stndoc/properties.html>

=>

Uploading C:\Program Files\Stnexp\Queries\10533272.str



ring nodes :

1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16 17 18 19 20 21 22 23
24 25 26 27 28

chain bonds :

5-12 15-17

ring bonds :

1-2 1-6 2-3 2-7 3-4 3-11 4-5 5-6 7-8 8-9 8-28 9-10 9-23 9-26 10-11
10-27 12-13 12-16 13-14 14-15 15-16 17-18 17-22 18-19 19-20 20-21 21-22
23-24 24-25 25-26 27-28

exact/norm bonds :

2-7 3-11 7-8 8-9 8-28 9-10 9-23 9-26 10-11 10-27 12-13 12-16 13-14
14-15 15-16 23-24 24-25 25-26 27-28

exact bonds :

5-12 15-17

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6 17-18 17-22 18-19 19-20 20-21 21-22

Match level :

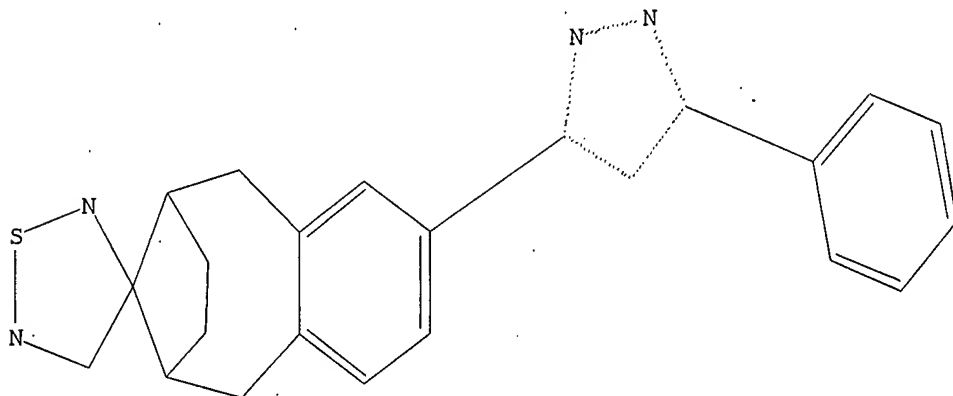
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom
20:Atom 21:Atom 22:Atom 23:Atom 24:Atom 25:Atom 26:Atom 27:Atom 28:Atom

L1 STRUCTURE UPLOADED

=> d

L1 HAS NO ANSWERS

L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l1

SAMPLE SEARCH INITIATED 13:45:42 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 3 TO ITERATE

100.0% PROCESSED 3 ITERATIONS

2 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**

PROJECTED ITERATIONS: 3 TO 163

PROJECTED ANSWERS: 2 TO 124

L2 2 SEA SSS SAM L1

=> s l1 full

FULL SEARCH INITIATED 13:45:45 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 65 TO ITERATE

100.0% PROCESSED 65 ITERATIONS

40 ANSWERS

SEARCH TIME: 00.00.01

L3 40 SEA SSS FUL L1

=> fil caplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

172.10

172.31

FILE 'CAPLUS' ENTERED AT 13:45:47 ON 17 OCT 2007
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FILE COVERS 1907 - 17 Oct 2007 VOL 147 ISS 17
FILE LAST UPDATED: 16 Oct 2007 (20071016/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

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=> s l3

L4 3 L3

=> d ibib abs hitstr tot

L4 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

ACCESSION NUMBER: 2004:390243 CAPLUS
DOCUMENT NUMBER: 140:406804
TITLE: A preparation of cyclic sulfamide derivatives, useful as γ -secretase inhibitors
INVENTOR(S): Collins, Ian James; Hannam, Joanne Clare; Harrison, Timothy; Madin, Andrew; Ridgill, Mark Peter
PATENT ASSIGNEE(S): Merck Sharp & Dohme Limited, UK
SOURCE: PCT Int. Appl., 32 pp.
CODEN: PIXX2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

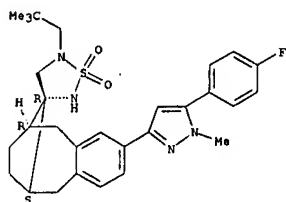
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004039800	A1	20040513	WO 2003-GB4728	20031031
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2503884	A1	20040513	CA 2003-2503884	20031031
AU 2003276439	A1	20040525	AU 2003-276439	20031031
EP 1611129	A1	20060104	EP 2003-809796	20031031
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 200608092	T	20060309	JP 2004-547819	20031031
US 2006135570	A1	20060622	US 2005-533272	20050428
PRIORITY APPLN. INFO.:			GB 2002-25475	A 20021101
OTHER SOURCE(S):			WO 2003-GB4728	W 20031031
GI				

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to cyclic sulfamide derivate of formula I [wherein: the pyrazole group is attached at 2- or 3-position of the benzene ring; X = H, OH, Cl-4 alkoxy, Cl, or F; Ar is a Ph or 6-membered heterocyclic, either of which bears 0-3 substituents independently selected from halogen, CF₃, or NO₂, etc.; R₁ is a hydrocarbon group of 1-5 carbon atoms which is optionally substituted with up to 3 halogen atoms; R₂ is H or a hydrocarbon group of 1-10 carbon atoms which is optionally substituted with up to 7 halogen atoms; when X is H, R₂ is not 2,2,2-trifluoroethyl] as γ -secretase inhibitors, useful for treatment or prevention of Alzheimer's disease. For treating or preventing Alzheimer's disease, a suitable dosage level of the invented compds. is about 0.05 to 50 mg/kg of body weight per day (ED50 < 100 nM). For instance, cyclic sulfamide derivative II was prepared from the prepared intermediate III and allylamine in DMSO at 100 °C in a sealed tube with a yield of 84%.

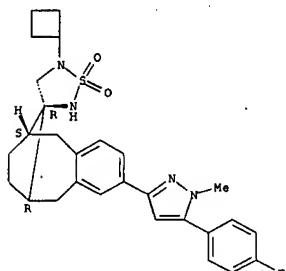
IT 689254-81-7P 689254-86-2P 689254-93-1P

L4 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 689254-97-5 CAPLUS
CN Spiro[6,9-methanobenzocyclooctene-11,3'-[1,2,5]thiadiazolidine], 5'-cyclobutyl-2-[5-(4-fluorophenyl)-1-methyl-1H-pyrazol-3-yl]-5,6,7,8,9,10-hexahydro-, 1',1'-dioxide, (3'R,6S,9R)-rel- (CA INDEX NAME)

Relative stereochemistry.



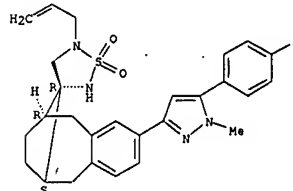
RN 689255-02-5 CAPLUS
CN Spiro[6,9-methanobenzocyclooctene-11,3'-[1,2,5]thiadiazolidine], 2-[5-(4-fluorophenyl)-1-methyl-1H-pyrazol-3-yl]-5,6,7,8,9,10-hexahydro-5'-(phenylmethyl)-, 1',1'-dioxide, (3'R,6S,9R)-rel- (CA INDEX NAME)

Relative stereochemistry.

L4 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

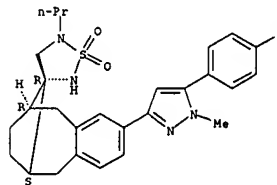
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689255-14-9P 689255-21-8P 689255-26-3P
689255-31-0P 689255-38-7P 689255-45-6P
689255-50-3P 689255-54-7P 689255-57-0P
689255-60-5P 689255-69-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of cyclic sulfamides for inhibition of γ -secretase)
RN 689254-81-7 CAPLUS
CN Spiro[6,9-methanobenzocyclooctene-11,3'-[1,2,5]thiadiazolidine], 2-[5-(4-fluorophenyl)-1-methyl-1H-pyrazol-3-yl]-5,6,7,8,9,10-hexahydro-5'-propyl-, 1',1'-dioxide, (3'R,6S,9R)-rel- (SCI) (CA INDEX NAME)

Relative stereochemistry.



RN 689254-86-2 CAPLUS
CN Spiro[6,9-methanobenzocyclooctene-11,3'-[1,2,5]thiadiazolidine], 2-[5-(4-fluorophenyl)-1-methyl-1H-pyrazol-3-yl]-5,6,7,8,9,10-hexahydro-5'-propyl-, 1',1'-dioxide, (3'R,6S,9R)-rel- (CA INDEX NAME)

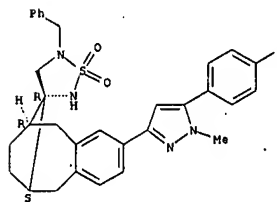
Relative stereochemistry.



RN 689254-93-1 CAPLUS
CN Spiro[6,9-methanobenzocyclooctene-11,3'-[1,2,5]thiadiazolidine], 5'-(2,2-dimethylpropyl)-2-[5-(4-fluorophenyl)-1-methyl-1H-pyrazol-3-yl]-5,6,7,8,9,10-hexahydro-, 1',1'-dioxide, (3'R,6S,9R)-rel- (CA INDEX NAME)

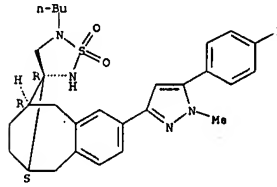
Relative stereochemistry.

L4 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



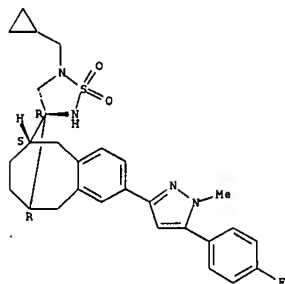
RN 689255-06-9 CAPLUS
CN Spiro[6,9-methanobenzocyclooctene-11,3'-[1,2,5]thiadiazolidine], 5'-butyl-2-[5-(4-fluorophenyl)-1-methyl-1H-pyrazol-3-yl]-5,6,7,8,9,10-hexahydro-, 1',1'-dioxide, (3'R,6S,9R)-rel- (CA INDEX NAME)

Relative stereochemistry.



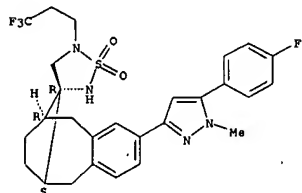
RN 689255-14-9 CAPLUS
CN Spiro[6,9-methanobenzocyclooctene-11,3'-[1,2,5]thiadiazolidine], 5'-(cyclopropylmethyl)-2-[5-(4-fluorophenyl)-1-methyl-1H-pyrazol-3-yl]-5,6,7,8,9,10-hexahydro-, 1',1'-dioxide, (3'R,6S,9R)-rel- (CA INDEX NAME)

Relative stereochemistry.



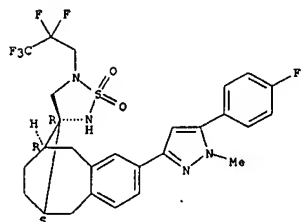
RN 689255-21-8 CAPLUS
 CN Spiro[6,9-methanobenzocyclooctene-11,3'-[1,2,5]thiadiazolidine],
 2-[5-(4-fluorophenyl)-1-methyl-1H-pyrazol-3-yl]-5,6,7,8,9,10-hexahydro-5'-
 (3,3,3-trifluoropropyl)-, 1',1'-dioxide, (3'R,6S,9R)-rel- (CA INDEX NAME)

Relative stereochemistry.



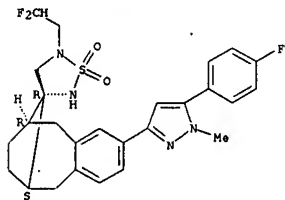
RN 689255-26-3 CAPLUS
 CN Spiro[6,9-methanobenzocyclooctene-11,3'-[1,2,5]thiadiazolidine],
 2-[5-(4-fluorophenyl)-1-methyl-1H-pyrazol-3-yl]-5,6,7,8,9,10-hexahydro-5'-
 (1-methylethyl)-, 1',1'-dioxide, (3'R,6S,9R)-rel- (CA INDEX NAME)

Relative stereochemistry.



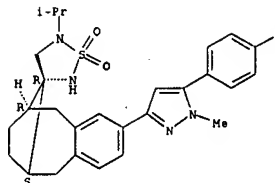
RN 689255-45-6 CAPLUS
 CN Spiro[6,9-methanobenzocyclooctene-11,3'-[1,2,5]thiadiazolidine],
 5'-(2,2-difluoroethyl)-2-[5-(4-fluorophenyl)-1-methyl-1H-pyrazol-3-yl]-
 5,6,7,8,9,10-hexahydro-, 1',1'-dioxide, (3'R,6S,9R)-rel- (CA INDEX NAME)

Relative stereochemistry.



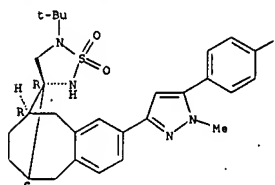
RN 689255-50-3 CAPLUS
 CN Spiro[6,9-methanobenzocyclooctene-11,3'-[1,2,5]thiadiazolidine],
 5'-cyclopropyl-2-[5-(4-fluorophenyl)-1-methyl-1H-pyrazol-3-yl]-
 5,6,7,8,9,10-hexahydro-, 1',1'-dioxide, (3'R,6S,9R)-rel- (CA INDEX NAME)

Relative stereochemistry.



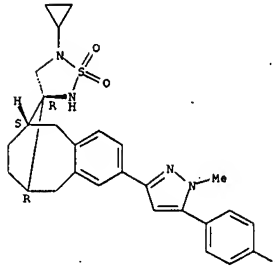
RN 689255-31-0 CAPLUS
 CN Spiro[6,9-methanobenzocyclooctene-11,3'-[1,2,5]thiadiazolidine],
 5'-(1,1-dimethylethyl)-2-[5-(4-fluorophenyl)-1-methyl-1H-pyrazol-3-yl]-
 5,6,7,8,9,10-hexahydro-, 1',1'-dioxide, (3'R,6S,9R)-rel- (CA INDEX NAME)

Relative stereochemistry.



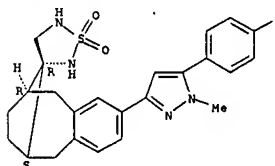
RN 689255-38-7 CAPLUS
 CN Spiro[6,9-methanobenzocyclooctene-11,3'-[1,2,5]thiadiazolidine],
 2-[5-(4-fluorophenyl)-1-methyl-1H-pyrazol-3-yl]-5,6,7,8,9,10-hexahydro-5'-
 (2,2,3,3,3-pentafluoropropyl)-, 1',1'-dioxide, (3'R,6S,9R)-rel- (CA INDEX NAME)

Relative stereochemistry.



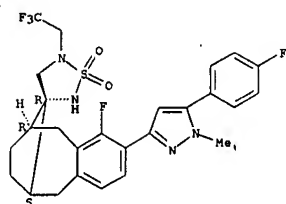
RN 689255-54-7 CAPLUS
 CN Spiro[6,9-methanobenzocyclooctene-11,3'-[1,2,5]thiadiazolidine],
 2-[5-(4-fluorophenyl)-1-methyl-1H-pyrazol-3-yl]-5,6,7,8,9,10-hexahydro-,
 1',1'-dioxide, (6R,9S,11S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



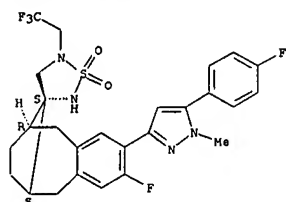
RN 689255-57-0 CAPLUS
 CN Spiro[6,9-methanobenzocyclooctene-11,3'-[1,2,5]thiadiazolidine],
 1-fluoro-2-[5-(4-fluorophenyl)-1-methyl-1H-pyrazol-3-yl]-5,6,7,8,9,10-
 hexahydro-5'-(2,2,2-trifluoroethyl)-, 1',1'-dioxide, (3'R,6S,9R)-rel- (CA INDEX NAME)

Relative stereochemistry.



RN 689255-60-5 CAPLUS
 CN Spiro[6,9-methanobenzocyclooctene-11,3'-[1,2,5]thiadiazolidine],
 2-fluoro-3-[5-(4-fluorophenyl)-1-methyl-1H-pyrazol-3-yl]-5,6,7,8,9,10-
 hexahydro-5'-(2,2,2-trifluoroethyl)-, 1',1'-dioxide, (3'R,6S,9R)-rel- (CA
 INDEX NAME)

Relative stereochemistry.



RN 689255-69-4 CAPLUS
 CN Spiro[6,9-methanobenzocyclooctene-11,3'-[1,2,5]thiadiazolidine]-2-ol,
 3-[5-(4-fluorophenyl)-1-methyl-1H-pyrazol-3-yl]-5,6,7,8,9,10-hexahydro-5'-
 (2,2,2-trifluoroethyl)-, 1',1'-dioxide, (3'R,6R,9S)-rel- (9CI) (CA INDEX
 NAME)

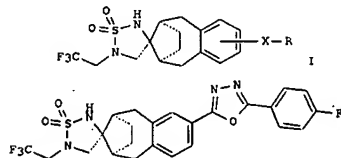
Relative stereochemistry.

ACCESSION NUMBER: 2003:892764 CAPLUS
 DOCUMENT NUMBER: 139:381490
 TITLE: Preparation of spirocyclic [1,2,5]thiadiazole
 derivatives as γ -secretase inhibitors for
 treatment of Alzheimer's disease
 INVENTOR(S): Collins, Ian James; Cooper, Laura Catherine; Harrison,
 Timothy; Keown, Linda Elizabeth; Madin, Andrew;
 Ridgill, Mark Peter
 PATENT ASSIGNEE(S): Merck Sharp & Dohme Limited, UK
 SOURCE: PCT Int. Appl., 133 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

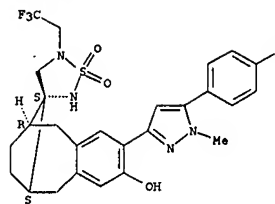
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003093252	A1	20031113	WO 2003-GB1763	20030424
V: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZH, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2484159	A1	20031113	CA 2003-2484159	20030424
AU 2003229932	A1	20031117	AU 2003-229932	20030424
EP 1503998	A1	20050209	EP 2003-722769	20030424
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, HK, CY, AL, TR, BG, CZ, EE, HU, SK				
US 2005182109	A1	20050818	US 2004-512810	20041025
US 7041689	B2	20060509		
US 2006173054	A1	20060803	US 2006-366866	20060302
US 7282513	B2	20071016		

PRIORITY APPLN. INFO.:

OTHER SOURCE(S): MARPAT 139:381490
 GI



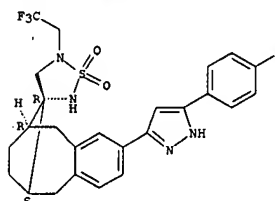
II



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RR FORMAT

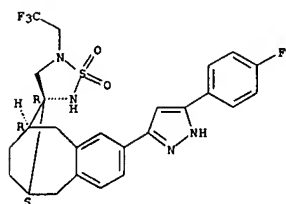
AB The title compds. I [wherein X = an (un)substituted bivalent pyrazole, imidazole, triazole, oxazole, isoxazole, thiazole, isothiazole, thiadiazole, or 1,3,4-oxadiazole; R = CF₃, (un)substituted aliphatic hydrocarbyl, heterocyclyl, Ph, heteroaryl, or amino] and pharmaceutically acceptable salts thereof are prepared I are inhibitors of the processing of APP by γ -secretase, and are useful in the treatment or prevention of Alzheimer's disease (no data). For example, the compound II was prepared in a multi-step synthesis. Some of compound I have ED₅₀ of <1 nM against γ -secretase.
 IT 623576-34-1P
 RL: PAC (Pharmacological activity); PUR (Purification or recovery); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (drug candidate; preparation of thiadiazole derivs. as γ -secretase inhibitors for treatment of Alzheimer's disease)
 RN 623576-34-1 CAPLUS
 CN Spiro[6,9-methanobenzocyclooctene-11,3'-[1,2,5]thiadiazolidine],
 2-[5-(4-fluorophenyl)-1H-pyrazol-3-yl]-5,6,7,8,9,10-hexahydro-5'-(2,2,2-trifluoroethyl)-, 1',1'-dioxide, (3'R,6S,9R)- (CA INDEX NAME)

Absolute stereochemistry.



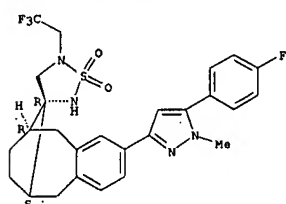
IT 623576-33-0P
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
 (drug candidate; preparation of thiadiazole derivs. as γ -secretase inhibitors for treatment of Alzheimer's disease)
 RN 623576-33-0 CAPLUS
 CN Spiro[6,9-methanobenzocyclooctene-11,3'-[1,2,5]thiadiazolidine],
 2-[5-(4-fluorophenyl)-1H-pyrazol-3-yl]-5,6,7,8,9,10-hexahydro-5'-(2,2,2-trifluoroethyl)-, 1',1'-dioxide, (3'R,6S,9R)-rel- (CA INDEX NAME)

Relative stereochemistry.



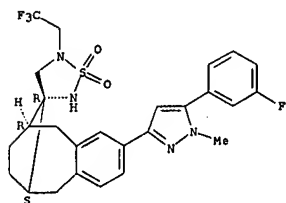
IT 623576-36-3P 623576-37-4P 623576-74-9P
 623576-75-0P 623576-76-1P 623576-78-3P
 623576-79-4P 623576-80-7P 623576-81-8P
 623576-82-9P 623576-83-0P 623576-86-3P
 623576-87-4P 623576-88-5P 623576-90-9P
 623576-92-1P 623576-95-4P 623576-96-5P
 623576-97-6P 623576-98-7P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses)
 (drug candidate; preparation of thiadiazole derivs. as γ -secretase
 inhibitors for treatment of Alzheimer's disease)
 RN 623576-36-3 CAPLUS
 CN Spiro[6,9-methanobenzocyclooctene-11,3'-(1,2,5)thiadiazolidine],
 2-[5-(4-fluorophenyl)-1-methyl-1H-pyrazol-3-yl]-5,6,7,8,9,10-hexahydro-5'-
 (2,2,2-trifluoroethyl)-, 1',1'-dioxide, (3'R,6S,9R)-rel- (CA INDEX NAME)

Absolute stereochemistry.



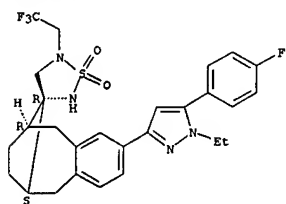
RN 623576-37-4 CAPLUS
 CN Spiro[6,9-methanobenzocyclooctene-11,3'-(1,2,5)thiadiazolidine],
 2-[3-(4-fluorophenyl)-1-methyl-1H-pyrazol-5-yl]-5,6,7,8,9,10-hexahydro-5'-
 (2,2,2-trifluoroethyl)-, 1',1'-dioxide, (3'R,6S,9R)-rel- (CA INDEX NAME)

Absolute stereochemistry.



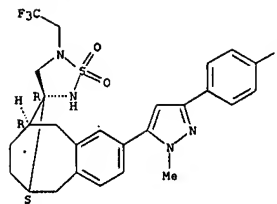
RN 623576-76-1 CAPLUS
 CN Spiro[6,9-methanobenzocyclooctene-11,3'-(1,2,5)thiadiazolidine],
 2-[1-ethyl-5-(4-fluorophenyl)-1H-pyrazol-3-yl]-5,6,7,8,9,10-hexahydro-5'-
 (2,2,2-trifluoroethyl)-, 1',1'-dioxide, (3'R,6S,9R)-rel- (CA INDEX NAME)

Relative stereochemistry.



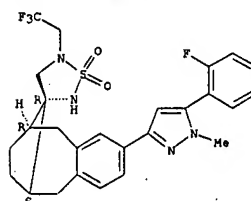
RN 623576-78-3 CAPLUS
 CN Spiro[6,9-methanobenzocyclooctene-11,3'-(1,2,5)thiadiazolidine],
 2-[5-(4-chlorophenyl)-1-methyl-1H-pyrazol-3-yl]-5,6,7,8,9,10-hexahydro-5'-
 (2,2,2-trifluoroethyl)-, 1',1'-dioxide, (3'R,6S,9R)-rel- (CA INDEX NAME)

Relative stereochemistry.



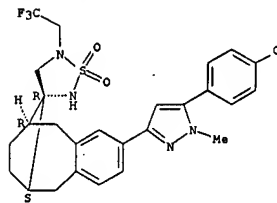
RN 623576-74-9 CAPLUS
 CN Spiro[6,9-methanobenzocyclooctene-11,3'-(1,2,5)thiadiazolidine],
 2-[5-(2-fluorophenyl)-1-methyl-1H-pyrazol-3-yl]-5,6,7,8,9,10-hexahydro-5'-
 (2,2,2-trifluoroethyl)-, 1',1'-dioxide, (3'R,6S,9R)-rel- (CA INDEX NAME)

Relative stereochemistry.



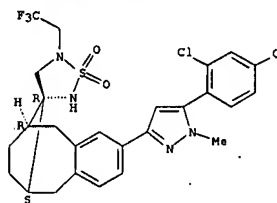
RN 623576-75-0 CAPLUS
 CN Spiro[6,9-methanobenzocyclooctene-11,3'-(1,2,5)thiadiazolidine],
 2-[5-(3-fluorophenyl)-1-methyl-1H-pyrazol-3-yl]-5,6,7,8,9,10-hexahydro-5'-
 (2,2,2-trifluoroethyl)-, 1',1'-dioxide, (3'R,6S,9R)-rel- (CA INDEX NAME)

Relative stereochemistry.



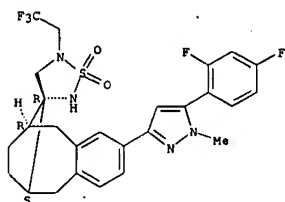
RN 623576-79-4 CAPLUS
 CN Spiro[6,9-methanobenzocyclooctene-11,3'-(1,2,5)thiadiazolidine],
 2-[5-(2,4-dichlorophenyl)-1-methyl-1H-pyrazol-3-yl]-5,6,7,8,9,10-hexahydro-5'-
 (2,2,2-trifluoroethyl)-, 1',1'-dioxide, (3'R,6S,9R)-rel- (CA INDEX NAME)

Relative stereochemistry.



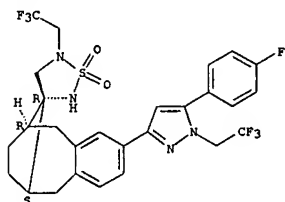
RN 623576-80-7 CAPLUS
 CN Spiro[6,9-methanobenzocyclooctene-11,3'-(1,2,5)thiadiazolidine],
 2-[5-(2,4-difluorophenyl)-1-methyl-1H-pyrazol-3-yl]-5,6,7,8,9,10-hexahydro-5'-
 (2,2,2-trifluoroethyl)-, 1',1'-dioxide, (3'R,6S,9R)-rel- (CA INDEX NAME)

Relative stereochemistry.



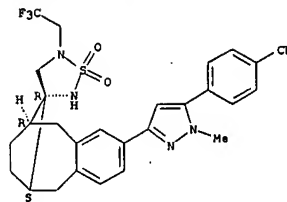
RN 623576-81-8 CAPLUS
 CN Spiro[6,9-methanobenzocyclooctene-11,3'-[1,2,5]thiadiazolidine], 2-[5-(4-fluorophenyl)-1-(2,2,2-trifluoroethyl)-1H-pyrazol-3-yl]-5,6,7,8,9,10-hexahydro-5'-(2,2,2-trifluoroethyl)-, 1',1'-dioxide, (3'R,6S,9R)-rel- (CA INDEX NAME)

Relative stereochemistry.



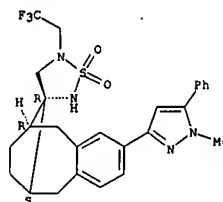
RN 623576-82-9 CAPLUS
 CN Benzotrile, 4-[3-[(3'R,6S,9R)-5,6,7,8,9,10-hexahydro-1',1'-dioxido-5'-(2,2,2-trifluoroethyl)spiro[6,9-methanobenzocyclooctene-11,3'-[1,2,5]thiadiazolidine]-2-yl]-1-methyl-1H-pyrazol-5-yl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



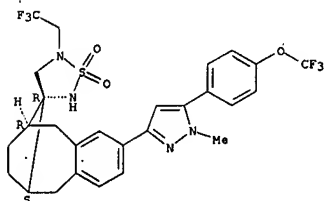
RN 623576-83-0 CAPLUS
 CN Spiro[6,9-methanobenzocyclooctene-11,3'-[1,2,5]thiadiazolidine], 5,6,7,8,9,10-hexahydro-2-[(1-methyl-5-phenyl-1H-pyrazol-3-yl)-5'-(2,2,2-trifluoroethyl)-, 1',1'-dioxide, (3'R,6S,9R)-rel- (CA INDEX NAME)

Relative stereochemistry.



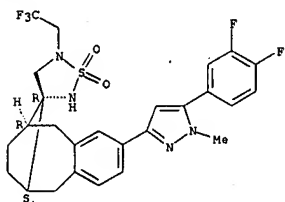
RN 623576-86-3 CAPLUS
 CN Spiro[6,9-methanobenzocyclooctene-11,3'-[1,2,5]thiadiazolidine], 5,6,7,8,9,10-hexahydro-2-[(1-methyl-5-(4-(trifluoromethoxy)phenyl)-1H-pyrazol-3-yl)-5'-(2,2,2-trifluoroethyl)-, 1',1'-dioxide, (3'R,6S,9R)-rel- (CA INDEX NAME)

Absolute stereochemistry.



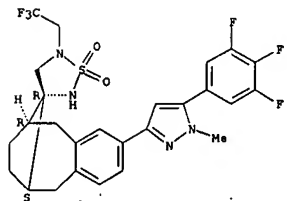
RN 623576-87-4 CAPLUS
 CN Spiro[6,9-methanobenzocyclooctene-11,3'-[1,2,5]thiadiazolidine], 2-[5-(3,4-difluorophenyl)-1-methyl-1H-pyrazol-3-yl]-5,6,7,8,9,10-hexahydro-5'-(2,2,2-trifluoroethyl)-, 1',1'-dioxide, (3'R,6S,9R)-rel- (CA INDEX NAME)

Absolute stereochemistry.



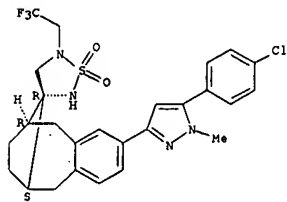
RN 623576-88-5 CAPLUS
 CN Spiro[6,9-methanobenzocyclooctene-11,3'-[1,2,5]thiadiazolidine], 5,6,7,8,9,10-hexahydro-2-[(1-methyl-5-(3,4,5-trifluoromethylphenyl)-1H-pyrazol-3-yl)-5'-(2,2,2-trifluoroethyl)-, 1',1'-dioxide, (3'R,6S,9R)-rel- (CA INDEX NAME)

Absolute stereochemistry.



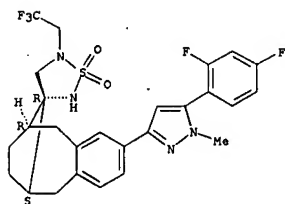
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 CN Spiro[6,9-methanobenzocyclooctene-11,3'-[1,2,5]thiadiazolidine], 2-[5-(4-chlorophenyl)-1-methyl-1H-pyrazol-3-yl]-5,6,7,8,9,10-hexahydro-5'-(2,2,2-trifluoroethyl)-, 1',1'-dioxide, (3'R,6S,9R)-rel- (CA INDEX NAME)

Absolute stereochemistry.



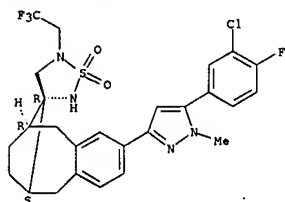
RN 623576-92-1 CAPLUS
 CN Spiro[6,9-methanobenzocyclooctene-11,3'-[1,2,5]thiadiazolidine], 2-[5-(2,4-difluorophenyl)-1-methyl-1H-pyrazol-3-yl]-5,6,7,8,9,10-hexahydro-5'-(2,2,2-trifluoroethyl)-, 1',1'-dioxide, (3'R,6S,9R)-rel- (CA INDEX NAME)

Absolute stereochemistry.



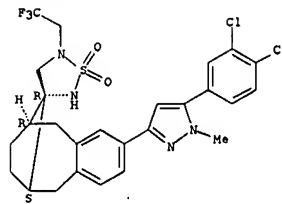
RN 623576-95-4 CAPLUS
CN Spiro[6,9-methanobenzocyclooctene-11,3'-[1,2,5]thiadiazolidine],
2-[5-(3-chloro-4-fluorophenyl)-1-methyl-1H-pyrazol-3-yl]-5,6,7,8,9,10-
hexahydro-5'-(2,2,2-trifluoroethyl)-, 1',1'-dioxide, (3'R,6S,9R)- (CA
INDEX NAME)

Absolute stereochemistry.



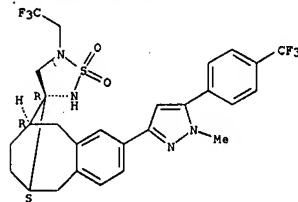
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CN Spiro[6,9-methanobenzocyclooctene-11,3'-[1,2,5]thiadiazolidine],
2-[5-(3,4-dichlorophenyl)-1-methyl-1H-pyrazol-3-yl]-5,6,7,8,9,10-
hexahydro-5'-(2,2,2-trifluoroethyl)-, 1',1'-dioxide, (3'R,6S,9R)- (CA INDEX NAME)

Absolute stereochemistry.



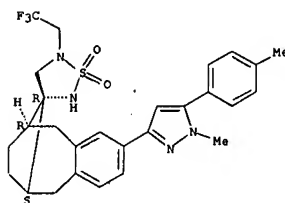
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CN Spiro[6,9-methanobenzocyclooctene-11,3'-[1,2,5]thiadiazolidine],
5,6,7,8,9,10-hexahydro-2-[1-methyl-5-[4-(trifluoromethyl)phenyl]-1H-
pyrazol-3-yl]-5'-(2,2,2-trifluoroethyl)-, 1',1'-dioxide, (3'R,6S,9R)- (CA
INDEX NAME)

Absolute stereochemistry.



RN 623576-98-7 CAPLUS
CN Spiro[6,9-methanobenzocyclooctene-11,3'-[1,2,5]thiadiazolidine],
5,6,7,8,9,10-hexahydro-2-[1-methyl-5-(4-methylphenyl)-1H-pyrazol-3-yl]-5'-
(2,2,2-trifluoroethyl)-, 1',1'-dioxide, (3'R,6S,9R)- (CA INDEX NAME)

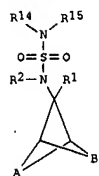
Absolute stereochemistry.



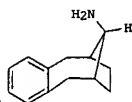
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ACCESSION NUMBER: 2002:353420 CAPLUS
DOCUMENT NUMBER: 136:369505
TITLE: Synthesis of sulfonamido-substituted bridged
bicycloalkyl derivatives as γ -secretase
inhibitors
INVENTOR(S): Collins, Ian James; Hannam, Joanne Claire; Harrison,
Timothy; Lewis, Stephen John; Madia, Andrew; Sparey,
Timothy; Jason; Williams, Brian John
PATENT ASSIGNEE(S): Merck Sharp & Dohme Limited, UK
SOURCE: PCT Int. Appl., 151 pp.
CODEN: PIXKD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

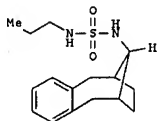
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WO 2002036555	A1	20020510	WO 2001-GB4817	20011029
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
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AU 200210747	A	20020515	AU 2002-10747	20011029
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EP 1334085	B1	20050824		
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JP 2004513108	T	20040430	JP 2002-539315	20011029
JP 3880051	B2	20070214		
AT 302753	T	20050915	AT 2001-978652	20011029
ES 2248397	T3	20060316	ES 2001-1978652	20011029
US 2004049038	A1	20040311	US 2003-415751	20030501
US 7138400	B2	20061121		
JP 2006241163	A	20060914	JP 2006-78136	20060322
PRIORITY APPLN. INFO.:			GB 2000-26827	A 20001102
			GB 2001-22685	A 20010920
			JP 2002-539315	A3 20011029
			WO 2001-GB4817	W 20011029
OTHER SOURCE(S):		MARPAT 136:369505		
GI				



I



II



III

AB Title compds. I [A, B = (CXY)p, (CXY)qCY-CY(CXY)r, (CXY)nNR13(CXY)y, etc.; X = halo, R9, OR9, SR9, S(O)1-2R10, OSO2R9, N(R9)2, COR9, CO2R9, etc.; Y = H, alkyl or X, Y together = O, S, N-OR11, CHR11; provided neither A nor B comprises more than one CXY moiety which is other than CH2; p = 1-6; q, r, x, y = 0-2; provided that at least one of A and B comprises a chain of 2 or more atoms, such that the ring completed by A and B contains at least 5 atoms; R1 = H, alk(en)yl or R1 and R15 together may complete a 5-, 6- or 7-membered cyclic sulfamide; R2 = H, Cl, alkyl, aryl, aryl-alkyl, cycloalkyl, acyl, etc.; R9 = H or R10 or two R9 groups together with a nitrogen atom to which they are mutually attached may complete a pyrrolidine, piperidine, piperazine, etc.; R10 = alkyl, perfluoroalkyl, cycloalkyl, etc.; R11 = H, alkyl, etc.; R14 = H, alkyl, etc.; R15 = H, alkyl or R15 and R1 together complete a 5-, 6- or 7-membered cyclic sulfamide] were prepared. Over 150 synthetic examples were disclosed. For instance, prior art amine II was sulfonylated with catechol sulfate and the intermediate treated with n-PrNH2 (dioxane, 80°C, 1 h) to give III. I are inhibitors of γ -secretase and are cytotoxic with EC50 < 10 μ M for human app695. Compds. of the invention are useful in the treatment of and/or prevention of Alzheimer's disease.

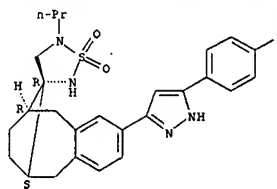
IT 423168-61-OP
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug; synthesis of sulfonamide-substituted bridged bicyclic alkyl derivs. as γ -secretase inhibitors)

RN 423168-61-0 CAPLUS

CN Spiro[6,9-methanobenzocyclooctene-11,3'-[1,2,5]thiadiazolidine], 2-[5-(4-fluorophenyl)-1H-pyrazol-3-yl]-5,6,7,8,9,10-hexahydro-5'-propyl-, 1',1'-dioxide, (3'R,6S,9R)-rel- (CA INDEX NAME)

Relative stereochemistry.



REFERENCE COUNT:

1

THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> log y

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

16.28

188.59

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

ENTRY

SESSION

CA SUBSCRIBER PRICE

-2.34

-2.34

STN INTERNATIONAL LOGOFF AT 13:46:11 ON 17 OCT 2007